

## SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Shengjian Wang Examiner #: 77601 Date: 11-4-03  
 Art Unit: 1617 Phone Number 301-455-4 Serial Number: 101076673  
 Mail Box and Bldg/Room Location: 2E-11 Results Format Preferred (circle): PAPER DISK E-MAIL  
2B-19

If more than one search is submitted, please prioritize searches in order of need. MEJ

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc. if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Method for treating allergies using substituted Pyrazoles

Inventors (please provide full names): Darin Breitenbucher et al.

Earliest Priority Filing Date: 2/13/2002

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Search ① Compounds with formula (I)

wherein

$$n = 1$$

$$X = R^{12}C$$

$$Y = R^{13}C$$

$$Z = R^{14}C$$

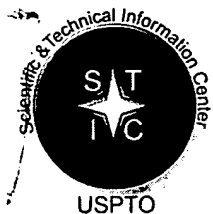
$R^5$  and  $R^6$  taken together to form

an optionally substituted 5- to 7 membered heterocyclic  
 (see attached claim)

② and the employment of the compound for treating allergies

## STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN <u>282<sup>02</sup></u>
Searcher Phone #: <u>Point of Contact:</u>	AA Sequence (#) _____	Dialog _____
Searcher Location: <u>Alexandra Wactawiw</u>	Structure (#) <u>①</u>	Questel/Orbit _____
Searcher Picked Up: <u>Technical Info. Specialist</u>	Bibliographic _____	Dr. Link _____
Date Searcher Picked Up: <u>CM1 6A02 Tel: 309-4491</u>	Litigation _____	Lexis/Nexis _____
Date Completed: <u>11-10-03</u>	Fulltext _____	Sequence Systems _____
Searcher Prep & Review Time: <u>18</u>	Patent Family _____	WWW/Internet _____
Clerical Prep Time: <u>7</u>	Other _____	Other (specify) _____
Online Time: <u>32</u>		



# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 107490**

**TO: Shengjun Wang**  
**Location: cm1/2e11/2b19**  
**Art Unit: 1617**  
**Monday, November 10, 2003**

**Case Serial Number: 10/075673**

**From: Alex Waclawiw**  
**Location: Biotech-Chem Library**  
**CM1-6A02**  
**Phone: 308-4491**

**Alexandra.waclawiw@uspto.gov**

### **Search Notes**

=&gt; d his

(FILE 'CAPLUS' ENTERED AT 11:27:52 ON 10 NOV 2003)  
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 11:28:08 ON 10 NOV 2003  
ACT WANG/A

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L1          STR
L2          242 SEA FILE=REGISTRY SSS FUL L1
L3          240 S L2 AND (CAPLUS OR CA)/LC
L4          240 S L2 AND USPATFULL/LC
L5          0 S L4 NOT L3

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L6          2 S L2 NOT (L3 OR L4)

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FILE 'CAPLUS' ENTERED AT 11:29:40 ON 10 NOV 2003  
L7 4 S L2

FILE 'CAOLD' ENTERED AT 11:29:44 ON 10 NOV 2003  
L8 0 S L2

=&gt; fil reg

FILE 'REGISTRY' ENTERED AT 11:35:02 ON 10 NOV 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 NOV 2003 HIGHEST RN 614715-63-8  
DICTIONARY FILE UPDATES: 9 NOV 2003 HIGHEST RN 614715-63-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

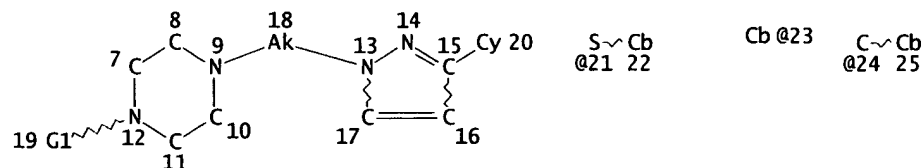
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=&gt; d que stat 12

L1 STR



Hy @26

VAR G1=21/23/24/26

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 20

GGCAT IS MCY UNS AT 22

GGCAT IS MCY UNS AT 23  
 GGCAT IS MCY UNS AT 25  
 GGCAT IS PCY UNS AT 26  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M1 N AT 26

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE  
 L2 242 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 22185 ITERATIONS  
 SEARCH TIME: 00.00.01

242 ANSWERS

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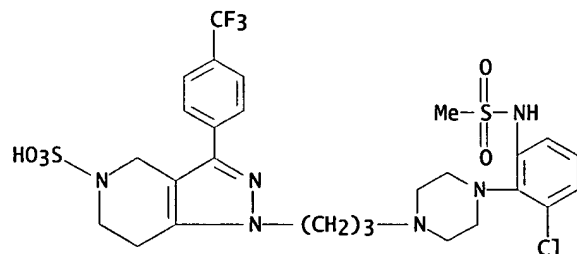
(FILE 'REGISTRY' ENTERED AT 11:28:08 ON 10 NOV 2003)

L3 240 S L2 AND (CAPLUS OR CA)/LC  
 L4 240 S L2 AND USPATFULL/LC  
 L5 0 S L4 NOT L3  
 L6 2 S L2 NOT (L3 OR L4)

=&gt; d 16 ide can 1-2

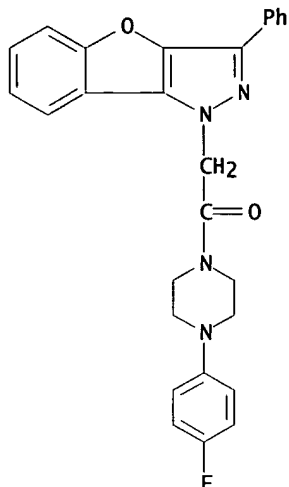
*these two structure have no  
 references associated with them.  
 I could not get any further  
 information about them.*

L6 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 404028-95-1 REGISTRY  
 CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-  
 [(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-  
 [4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C27 H32 Cl F3 N6 O5 S2  
 CI COM  
 SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 353255-37-5 REGISTRY  
 CN Piperazine, 1-(4-fluorophenyl)-4-[(3-phenyl-1H-benzofuro[3,2-c]pyrazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C27 H23 F N4 O2  
 SR Chemical Library  
 LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil caplus

FILE 'CAPLUS' ENTERED AT 11:36:26 ON 10 NOV 2003  
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FILE COVERS 1907 - 10 Nov 2003 VOL 139 ISS 20  
FILE LAST UPDATED: 9 Nov 2003 (20031109/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos 17

L1 STR  
L2 242 SEA FILE=REGISTRY SSS FUL L1  
L7 4 SEA FILE=CAPLUS ABB=ON PLU=ON L2

=> d .ca 17 1-4

L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2003:300610 CAPLUS  
DOCUMENT NUMBER: 138:304307  
TITLE: Preparation of piperazinylpropylpyrazolopyridines for treatment of allergy  
INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siqun; Tays, Kevin L.; Thumond, Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

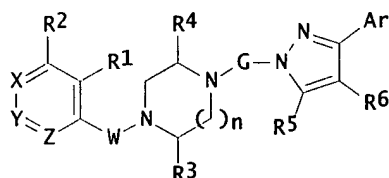
English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073672	A1	20030417	US 2001-947041	20010905
PRIORITY APPLN. INFO.:			US 2001-947041	20010905
OTHER SOURCE(S):		MARPAT 138:304307		

GI



I

AB Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2], for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7-tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M.

IC ICM A61K031-655

ICS A61K031-55; A61K031-53; A61K031-506; A61K031-501; A61K031-496

NCL 514151000; 514218000; 514217050; 514242000; 514252020; 514252190; 514253100; 514254050

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 400802-47-3P 400802-70-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy)

IT 400802-42-8P 400802-43-9P 400802-44-0P

400802-45-1P 400802-46-2P 400802-48-4P

400802-49-5P 400802-50-8P 400802-51-9P

400802-52-0P 400802-53-1P 400802-54-2P

400802-55-3P 400802-56-4P 400802-57-5P

400802-58-6P 400802-59-7P 400802-60-0P

400802-61-1P 400802-62-2P 400802-63-3P

400802-64-4P 400802-65-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinypropylpyrazolopyridines for treatment of allergy)

IT 400802-66-6 400802-67-7 400802-68-8

400802-69-9 400802-71-3 400802-72-4

400802-73-5 400802-74-6 400802-75-7

400802-76-8 400802-77-9 400802-78-0

400802-79-1 400802-80-4 400802-81-5

400802-82-6 400802-83-7 400802-84-8

400802-85-9 400802-86-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of piperazinypropylpyrazolopyridines for treatment of allergy)

IT 87628-27-1P 123237-62-7P 131540-88-0P 153025-29-7P 217807-98-2P

218780-53-1P, 1-(Methylsulfonyl)piperidin-4-one 332023-12-8P

400797-66-2P 400797-69-5P 400797-70-8P 400797-71-9P 400797-84-4P

400797-86-6P 400797-87-7P 400797-90-2P 400797-91-3P 400798-00-7P

400801-20-9P 400802-02-0P 400802-88-2P 400802-89-3P 400802-90-6P

400802-91-7P 400802-92-8P 400802-93-9P 400802-94-0P

400802-95-1P 400802-96-2P 400802-97-3P 400802-98-4P

400802-99-5P 400803-00-1P 400803-01-2P 400803-02-3P

400803-03-4P 400803-04-5P 400803-05-6P

400803-06-7P 400803-07-8P 400803-08-9P

400803-09-0P 400803-10-3P 400803-11-4P 400803-12-5P

400803-13-6P 400803-14-7P 400803-15-8P 400803-16-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazinypropylpyrazolopyridines for treatment of allergy)

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:282117 CAPLUS

DOCUMENT NUMBER: 138:304277

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

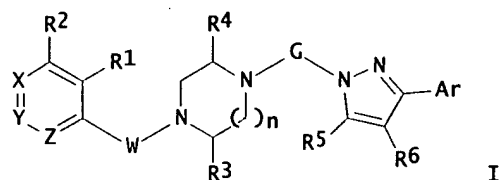
FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

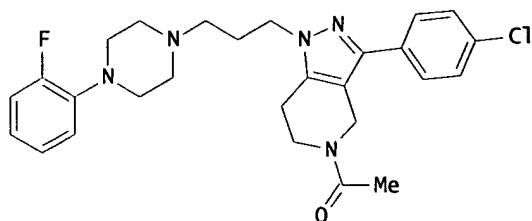
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003069240	A1	20030410	US 2002-75673	20020213
US 2002040020	A1	20020404	US 2001-928122	20010810
PRIORITY APPLN. INFO.:			US 2001-928122	A2 20010810
			US 2000-225138P	P 20000814

OTHER SOURCE(S): MARPAT 138:304277

GI



I



II

AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO<sub>2</sub>, CO, (un)substituted C, or a bond; or W and R<sub>1</sub> taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un)substituted C; R<sub>1</sub> = H, N<sub>3</sub>, halo, alkoxy, OH, alkyl, alkenyl, CN, NO<sub>2</sub>, acyl, or (un)substituted amino, carboxy, carbamoyl, or sulfamoyl; R<sub>2</sub> = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R<sub>1</sub>R<sub>2</sub> = (un)substituted carbocyclic or heterocyclic ring; R<sub>3</sub> and R<sub>4</sub> = independently H or alkyl; R<sub>5</sub> and R<sub>6</sub> = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R<sub>5</sub>R<sub>6</sub> = (un)substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC<sub>6</sub>H<sub>4</sub>COCl, followed by cycloaddn. with H<sub>2</sub>NNH<sub>2</sub>, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC<sub>50</sub> of 0.89 .mu.M.

IC ICM A61K031-655

ICS A61K031-501; A61K031-506; A61K031-53; A61K031-496

NCL 514241000; 514252020; 514253090; 514254050; 514151000; 514218000

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl)-piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl)-piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenylamine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-



c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-48-4P, 1-[3-(3,4-Dichlorophenyl)pyrazol-1-yl]-3-(4-o-tolyl-piperazin-1-yl)propan-2-ol 400802-49-5P, 1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid 1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-55-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1-yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester 400802-57-5P, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea 400802-59-7P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid methyl ester 400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-yl)-piperazin-1-yl]-2-hydroxy-propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-66-6P, 1-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-69-9P, 3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea 400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-

yl)phenyl]carbamic acid methyl ester 400802-74-6P  
 400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)]-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl)piperazin-1-yl)-3-nitrobenzoic acid methyl ester 400802-76-8P,  
 1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P,  
 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-yl)benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P,  
 1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P, 2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-84-8P,  
 N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P, 3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 404028-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 140-31-8P, 1-(2-Aminoethyl)piperazine 87628-27-1P, 1-[3-(4-Chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 123237-62-7P  
 131540-88-0P, 3-Piperazin-1-yl-benzo[d]isothiazole 1,1-dioxide 153025-29-7P, 6-Chloro-2-piperazin-1-yl-benzothiazole 218780-53-1P,  
 1-Methanesulfonyl-piperidin-4-one 332023-12-8P, 1-(2-Chloro-6-nitrophenyl)piperazine 400797-66-2P, 1-[3-(4-Chlorophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-69-5P, 1-[3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-70-8P,  
 1-[1-Oxiranylmethyl-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-71-9P,  
 1-[3-(4-Bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-84-4P, 3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-86-6P, 1-(2-Methoxycarbonyl-ethyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-87-7P, 1-(3-Hydroxypropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-90-2P, 5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400797-91-3P,  
 3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-1-ol 400798-00-7P,  
 5-Methanesulfonyl-1-oxiranylmethyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400801-20-9P, 1-(3-Oxopropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-02-0P, 3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]propionaldehyde 400802-88-2P, 1-[3-(4-Chlorophenyl)-1-(3-chloropropyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-89-3P, 1-[3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-

5-yl]ethanone 400802-90-6P 400802-91-7P, 1-[3-(4-Iodophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-92-8P, 3-(3,4-Dichlorophenyl)-1-oxiranylmethyl-1H-pyrazole 400802-93-9P, 1-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)propan-2-one 400802-94-0P, 3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-95-1P, 3-(4-Iodophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-96-2P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-97-3P, 1-[3-(4-Chloro-3-nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-98-4P, 1-[3-(4-Chloro-3-nitrophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-00-1P, (S)-1-[3-(4-Bromophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-01-2P, 3-(4-Chloro-3-methylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-02-3P, 3-(4-Chloro-3-methylphenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400803-05-6P, 4-(2-Chloro-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-06-7P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tert-butoxycarbonyl sulfonic acid amide 400803-11-4P, 4-(2-Amino-6-chlorophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-12-5P, 4-(2-Chloro-6-methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-13-6P, 4-(2,6-Dinitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-14-7P, 4-(2-Methoxycarbonyl-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-16-9P, 4-Benzo[d]isoxazol-3-yl-piperazine-1-carboxylic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

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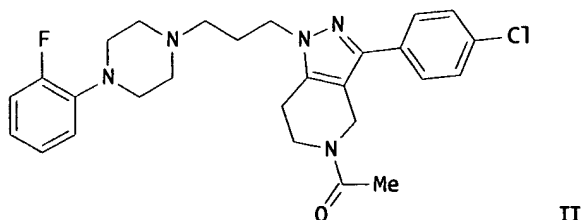
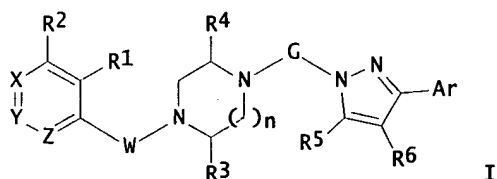
DOCUMENT NUMBER: 136:247576

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siqun; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei  
 PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA  
 SOURCE: PCT Int. Appl., 125 pp.  
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WO 2002020012	A2	20020314	WO 2001-US27479	20010905
WO 2002020012	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002040020	A1	20020404	US 2001-928122	20010810
AU 2001088730	A5	20020322	AU 2001-88730	20010905
EP 1315491	A2	20030604	EP 2001-968486	20010905
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PRIORITY APPLN. INFO.:			US 2000-230407P	P 20000906
			US 2001-928122	A 20010810
			US 2000-225138P	P 20000814
			WO 2001-US27479	W 20010905
OTHER SOURCE(S):			MARPAT 136:247576	
GI				



AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO<sub>2</sub>, CO, (un)substituted C, or a bond; or W and R<sub>1</sub> taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un)substituted C; R<sub>1</sub> = H, N<sub>3</sub>, halo, alkoxy, OH, alkyl, alkenyl, CN, NO<sub>2</sub>, acyl, or (un)substituted amino, carboxy, carbamoyl, or sulfamoyl; R<sub>2</sub> = H,

halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un)substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl, followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IC ICM A61K031-437

ICS A61K031-4162; A61P037-08; C07D471-04; C07D231-12

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl)-piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl)-piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenylamine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl)-piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-48-4P, 1-[3-(3,4-Dichlorophenyl)pyrazol-1-yl]-3-(4-o-tolyl-piperazin-1-yl)propan-2-ol 400802-49-5P, 1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid 1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-55-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1-yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester 400802-57-5P, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea

400802-59-7P, 1-[3-[4-(2-Chloro-6-methanesulfonylamino)phenyl]piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide  
 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)]-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)]-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid methyl ester 400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-66-6P, 1-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-69-9P, 3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea 400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylamino)phenyl]piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]carbamic acid methyl ester 400802-74-6P 400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)-3-nitrobenzoic acid methyl ester 400802-76-8P, 1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P, 1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P, 2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-84-8P, N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P, 3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-

cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide  
404028-96-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 140-31-8P, 1-(2-Aminoethyl)piperazine 87628-27-1P, 1-[3-(4-Chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 123237-62-7P  
131540-88-0P, 3-Piperazin-1-yl-benzo[d]isothiazole 1,1-dioxide  
153025-29-7P, 6-Chloro-2-piperazin-1-yl-benzothiazole 218780-53-1P,  
1-Methanesulfonyl-piperidin-4-one 332023-12-8P, 1-(2-Chloro-6-nitrophenyl)piperazine 400797-66-2P, 1-[3-(4-Chlorophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
400797-69-5P, 1-[3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-70-8P,  
1-[1-Oxiranylmethyl-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-71-9P,  
1-[3-(4-Bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-84-4P, 3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester  
400797-86-6P, 1-(2-Methoxycarbonyl-ethyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester  
400797-87-7P, 1-(3-Hydroxypropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester  
400797-90-2P, 5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400797-91-3P,  
3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-1-ol 400798-00-7P,  
5-Methanesulfonyl-1-oxiranylmethyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400801-20-9P, 1-(3-Oxopropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester  
400802-02-0P, 3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]propionaldehyde 400802-88-2P, 1-[3-(4-Chlorophenyl)-1-(3-chloropropyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
400802-89-3P, 1-[3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-90-6P 400802-91-7P, 1-[3-(4-Iodophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
400802-92-8P, 3-(3,4-Dichlorophenyl)-1-oxiranylmethyl-1H-pyrazole  
400802-93-9P, 1-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)propan-2-one  
400802-94-0P, 3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-95-1P,  
3-(4-Iodophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-96-2P,  
2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-97-3P,  
1-[3-(4-Chloro-3-nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-98-4P, 1-[3-(4-Chloro-3-nitrophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]ethanone  
400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-00-1P, (S)-1-[3-(4-Bromophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-01-2P,  
3-(4-Chloro-3-methylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-02-3P, 3-(4-Chloro-3-methylphenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-03-4P,  
3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400803-05-6P,  
4-(2-Chloro-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-06-7P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-

yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P,  
 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P,  
 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-09-0P,  
 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-10-3P,  
 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tert-butoxycarbonyl sulfonic acid amide 400803-11-4P, 4-(2-Amino-6-chlorophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-12-5P,  
 4-(2-Chloro-6-methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-13-6P, 4-(2,6-Dinitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-14-7P, 4-(2-Methoxycarbonyl-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-16-9P,  
 4-Benzo[d]isoxazol-3-yl-piperazine-1-carboxylic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

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ACCESSION NUMBER: 2002:142707 CAPLUS

DOCUMENT NUMBER: 136:200181

TITLE: Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.; Wei, Jianmei

PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

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W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001081255	A5	20020225	AU 2001-81255	20010810
US 2002040020	A1	20020404	US 2001-928122	20010810
EP 1309591	A2	20030514	EP 2001-959731	20010810



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OTHER SOURCE(S): MARPAT 136:200181  
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\*. STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un)substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un)substituted NH2; or R1R2 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclic ring; or R5R6 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un)substituted mono- or bicyclic (hetero)aryl; W = SO2, CO, (un)substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepd. and/or claimed, with detailed prepn. given for 24 compds. For instance, 4-(2-chloro-6-methanesulfonylamino)phenylpiperazine-1-carboxylic acid tert-Bu ester (prepd. in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was another of three specifically preferred compds.

IC ICM C07D471-00

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 7, 15

IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-47-3P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenylamine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-48-4P,

1-[3-(3,4-Dichlorophenyl)pyrazol-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400802-49-5P, 1-[1-[2-[[2-(Piperazin-1-yl)ethyl]amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid 1-[[5-(carbamoyl)-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-54-2P, (R)-1-[3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-55-3P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoropropyl]piperazin-1-yl]benzonitrile 400802-56-4P, [3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]oxoacetic acid methyl ester 400802-57-5P, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-[4-[3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]urea 400802-59-7P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-62-2P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-methanesulfonylaminobenzoic acid methyl ester 400802-63-3P, 1-[3-[4-[1,1-Dioxo-1.lambda.6-benzo[d]isothiazol-3-yl]piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-64-4P, 1-[1-[3-[4-(6-Chlorobenzothiazol-2-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-66-6P, 1-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-[4-[3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]-3-methylurea 400802-68-8P, 1-[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]-3-methylurea 400802-69-9P, 3-Amino-2-[4-[2-hydroxy-3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzoic acid methyl ester 400802-71-3P, 1-[2-[4-[3-[3-(4-Bromophenyl)-5-methanesulfonyl]-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]-3-chlorophenyl]-3-methylurea 400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-73-5P, [3-Chloro-2-[4-[3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]carbamic acid methyl ester 400802-74-6P, 1-[3-(4-Benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-75-7P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]-3-nitrobenzoic acid methyl ester

400802-76-8P, 1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400802-77-9P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400802-78-0P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-79-1P, 2-[4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400802-80-4P, 2-[4-[3-[3-(4-Chloro-3-methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400802-81-5P, 1-[3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-82-6P, 1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P, 2-[4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400802-84-8P, N-[3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]methanesulfonamide 400802-85-9P, 3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400802-87-1P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide trifluoroacetate 400803-17-0P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-methoxyphenyl)piperazin-1-yl]butyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-18-1P, 1-[1-[3-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-19-2P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-20-5P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-21-6P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-22-7P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-23-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-24-9P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-fluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-25-0P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(3-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-26-1P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(4-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-27-2P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-phenylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-28-3P, 1-[1-[3-(4-Benzhydryl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-29-4P, 1-[3-(4-Chlorophenyl)-1-[3-[4-[(4-chlorophenyl)phenylmethyl]piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-30-7P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(9H-fluoren-9-yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-31-8P, 1-[1-[3-(4-Benzylpiperazin-1-yl)-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-32-9P, 3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-

methoxyphenyl)piperazin-1-yl]propan-1-one 400803-33-OP,  
 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-34-1P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-trifluoromethylphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-35-2P,  
 1-[3-(4-Fluorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-36-3P, 4-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-methoxyphenyl)piperazin-1-yl]butan-1-one 400803-37-4P, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-p-tolyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-38-5P,  
 1-[3-(4-Chlorophenyl)-1-[3-[4-(3,4-dichlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-39-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(pyridin-2-yl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-40-9P, 1-[3-Biphenyl-4-yl]-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-41-OP, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-phenyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-42-1P,  
 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-43-2P, 1-[1-[2-Hydroxy-3-[4-(pyridin-4-yl)piperazin-1-yl]propyl]-3-(4-methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-44-3P, 1-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-one 400803-45-4P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-46-5P,  
 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-naphthalen-2-yl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-47-6P, 1-[3-(4-tert-Butylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-48-7P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]butan-1-one 400803-49-8P,  
 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2,2-dimethylpropan-1-one 400803-50-1P, [3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl](4-methoxyphenyl)methanone  
 400803-51-2P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400803-52-3P,  
 1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-[4-(2-methoxyphenyl)piperazin-1-yl]propan-2-ol 400803-53-4P,  
 1-[3-(3,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-54-5P, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-55-6P, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-56-7P,  
 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-difluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-57-8P, 2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400803-58-9P, 4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-3,4,5,6-tetrahydro-2H-[1,2']bipyrazinyl-3'-carbonitrile 400803-59-OP,  
 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,3-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 400803-60-3P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-61-4P,

1-[3-(4-Chlorophenyl)-1-[3-[4-(2,5-dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-62-5P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-p-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-63-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-m-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-64-7P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-65-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chloro-5-trifluoromethylpyridin-2-yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-66-9P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(3,5-dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-67-0P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-methoxyphenyl)piperazin-1-yl]but-2-enyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-68-1P, 4-[5-Acetyl-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzonitrile 400803-69-2P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(2,3,5,6-tetrahydro[1,2']bipyrazinyl-4-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-70-5P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(pyrimidin-2-yl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-71-6P, 1-[3-(2,4-Bistrifluoromethylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-72-7P, 1-[3-(2,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-73-8P, 2-[4-[3-[3-(4-Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400803-74-9P, 2-[4-[3-[3-(4-Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenol 400803-75-0P, 1-[3-(4-Bromophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-76-1P, 1-[3-(4-Chlorophenyl)-1-[2-[(2-methylallyl)oxy]-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-77-2P, 1-[1-[2-Benzoyloxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-78-3P, Acetic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-79-4P, Morpholine-4-carboxylic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-80-7P, Benzoic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-81-8P, Benzoylcarbamic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-82-9P, 1-[3-(4-Chlorophenyl)pyrazol-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400803-83-0P, 1-[3-(3-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-84-1P, 2-[4-[3-[5-Acetyl-3-(3-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400803-85-2P, tert-Butylcarbamic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-86-3P, Carbonic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester methyl ester 400803-87-4P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-hydroxyphenyl)piperazin-1-yl]but-2-enyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-88-5P, 2-[4-[4-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]but-2-enyl]piperazin-1-yl]benzonitrile 400803-89-6P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-90-9P, 1-[3-(4-Chlorophenyl)-1-[5-[4-(2-

methoxyphenyl)piperazin-1-yl]pentyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-91-0P, 1-[3-(4-Chlorophenyl)-1-[6-[4-(2-methoxyphenyl)piperazin-1-yl]hexyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-92-1P, 2-[1-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-tolylpiperazin-1-yl)ethoxy]acetamide 400803-93-2P, [1-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-tolylpiperazin-1-yl)ethoxy]acetic acid 400803-94-3P, [1-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-tolylpiperazin-1-yl)ethoxy]acetone 400803-95-4P, 1-[1-[3-[4-(2-Bromobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-96-5P, 3-[5-(4-Chlorophenyl)-2-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-2H-pyrazol-3-yl]propionic acid methyl ester 400803-97-6P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400803-98-7P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenol 400803-99-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid dimethylamide 400804-00-4P, 1-[1-[2-Azido-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-01-5P, 1-[1-[2-Amino-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-02-6P, 1-[3-(4-Chlorophenyl)-1-[2-methylamino-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-03-7P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide 400804-04-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydroindazol-5-one ethylene ketal 400804-05-9P, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400804-06-0P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-07-1P, 2-[4-[3-[5-Acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-08-2P, 1-[1-[3-[4-(2-Chlorobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-09-3P, 1-[3-(4-Chloro-2-fluorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-10-6P, 2-[4-[3-[5-Acetyl-3-(4-chloro-2-fluorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-11-7P, 1-[3-(4-Chlorophenyl)-5-methyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400804-12-8P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2-phenylethanone 400804-13-9P, 1-[3-(4-Chlorophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400804-14-0P, 1-[1-[3-[4-(2-Aminophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-15-1P, N-[2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenyl]methanesulfonamide 400804-16-2P, N-[2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenyl]acetamide 400804-17-3P, 1-[2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenyl]-3-isopropylurea 400804-18-4P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid hydrazide 400804-19-5P, 2-[4-[3-[5-Acetyl-3-(4-phenoxyphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-

yl]benzonitrile **400804-20-8P**, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid phenethylamide **400804-21-9P**, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid (4-methoxyphenyl)amide **400804-22-0P**, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carbothioic acid methylamide **400804-23-1P**, 2-[4-[3-[5-Acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-24-2P**, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid ethylamide **400804-25-3P**, N-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]methanesulfonamide **400804-26-4P**, 1-[3-(4-Chlorophenyl)-1-[2-[(1-ethylpyrrolidin-2-ylmethyl)amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400804-27-5P**, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylsulfanylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-28-6P**, 2-[4-[3-[5-Acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-29-7P**, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid isopropylamide **400804-30-0P**, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid phenylamide **400804-31-1P**, 1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol **400804-32-2P**, 1-[3-(4-Iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol **400804-33-3P**, 2-[4-[3-[5-Acetyl-3-(4-methanesulfonylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-34-4P**, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-methanesulfonylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400804-35-5P**, 1-[3-(4-Iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol **400804-36-6P**, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide **400804-37-7P**, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methyl ester **400804-38-8P**, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide **400804-39-9P**, N-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]methanesulfonamide **400804-40-2P**, 1-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]-3-ethylurea **400804-41-3P**, 1-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]-3-ethylurea **400804-42-4P**, N-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide **400804-43-5P**, Acetic acid 2-[5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-cyanophenyl)piperazin-1-yl]methyl ethyl ester **400804-44-6P**, N-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide **400804-45-7P**, N-[2-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-ylmethyl)ethyl]methanesulfonamide **400804-46-8P**, 1-[3-(4-Chlorophenyl)-1-[2-[(2-(pyridin-2-yl)ethyl)amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400804-47-9P**, 1-[3-(4-Chlorophenyl)-1-[2-(2-dimethylaminoethylamino)-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-

yl]ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of piperazinypropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

- IT 400804-48-OP, Carbonic acid 2-[5-acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-yl)methyl ethyl methyl ester 400804-49-1P, Carbamic acid 2-[5-acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-yl)methyl ethyl ester 400804-50-4P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one 400804-51-5P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-indazol-5-ol 400804-52-6P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one oxime 400804-53-7P, 1-[5-(Ethanefonyl)-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400804-54-8P, 1-[5-(4-Chlorobenzenesulfonyl)-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400804-55-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide 400804-56-OP, 1-[3-(4-Iodophenyl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol 400804-57-1P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carbonitrile 400804-58-2P, 4-[3-[5-Acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazine-1-carboxylic acid o-tolylamide 400804-59-3P, 4-[3-[5-Acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazine-1-carboxylic acid (2-methoxyphenyl)amide 400804-60-6P, 2-[4-[3-[5-Acetyl-3-(3-chloro-4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-61-7P, 2-[4-[3-[5-Acetyl-3-(3-fluoro-4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-62-8P, 2-[4-[3-[5-Acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-63-9P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxybenzyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-64-OP, 2-[4-[3-[5-Acetyl-3-(4-bromo-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-65-1P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxamide 400804-66-2P, 2-[4-[3-[5-Acetyl-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-67-3P, 2-[4-[3-[5-Acetyl-3-(3,4-difluorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-68-4P, 2-[4-[3-[5-Acetyl-3-(3,5-dichlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-69-5P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-(2-morpholin-4-ylethoxy)propyl]piperazin-1-yl]benzonitrile 400804-70-8P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-trifluoromethanesulfonyl]-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400804-71-9P, 2-[4-[3-[5-Acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-72-OP, N-[4-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]acetamide 400804-73-1P, 2-[4-[3-[5-Acetyl-3-(4-bromo-3-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-



yl]benzonitrile 400804-74-2P, 1-[3-(3-Chloro-4-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-75-3P, 1-[1-[3-[4-(2-Azidophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-76-4P, 2-[4-[3-[5-Acetyl-3-(3-azido-4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-77-5P, 5-Methanesulfonyl-1-[3-(4-o-tolyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-78-6P, 5-Methanesulfonyl-1-[3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-79-7P, 1-[1-[2-Hydroxy-3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-80-0P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400804-81-1P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-82-2P, 1-[3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-83-3P, 3-(4-Bromophenyl)-5-methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-84-4P, 3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400804-85-5P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide 400804-86-6P, 1-[3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-87-7P, 3-(3,4-Dichlorophenyl)-5-methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-88-8P, 3-(4-Bromophenyl)-1-[3-[4-[1,1-dioxo-1.lambda.6-benzo[d]isothiazol-3-yl]piperazin-1-yl]propyl]-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-89-9P, 1-[1-[3-(4-Benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-90-2P, 1-[3-(4-Benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-3-(4-bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-91-3P, 1-[3-(4-Benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400804-92-4P, 1-[3-(4-Benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-93-5P, 1-[4-(2,6-Dimethylphenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400804-94-6P, 1-[1-[3-[4-(2,6-Dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-95-7P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]isophthalonitrile 400804-96-8P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]isophthalonitrile 400804-97-9P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzoic acid methyl ester 400804-98-0P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-N-methylbenzamide 400804-99-1P, [3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]morpholin-4-ylmethanone 400805-00-7P 400805-01-8P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-N-pyridin-4-ylmethylbenzamide 400805-02-9P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-

trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-nitrobenzoic acid methyl ester 400805-03-0P, 3-Acetyl-amino-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzoic acid methyl ester 400805-04-1P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-nitrobenzamide 400805-05-2P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-(3-methylureido)benzoic acid methyl ester 400805-06-3P, 1-[1-[3-[4-(2,6-Dinitrophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-07-4P 400805-08-5P, 1-[1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylsulfanylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-09-6P, 1-[1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-10-9P, 2-[4-[3-[5-Acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-azido-propyl]piperazin-1-yl]benzonitrile 400805-11-0P, 1-[1-[2-Hydroxy-3-[4-(6-nitrobenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-12-1P, 1-[1-[2-Hydroxy-3-[4-(6-methoxybenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400824-64-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid dimethylamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of piperazinypropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

- IT 21900-24-3P, 4-Chloro-3-methylbenzoyl chloride 87628-27-1P, 1-[3-(4-Chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 123237-62-7P, (2S)-1-O-(tert-Butyldimethylsilyl)glycidol 131540-88-0P, 3-Piperazin-1-ylbenzo[d]isothiazole 1,1-dioxide 153025-29-7P, 6-Chloro-2-piperazin-1-ylbenzothiazole 217807-98-2P, 1-(tert-Butoxycarbonyl)-4-piperidone morpholine enamine 218780-53-1P, 1-Methanesulfonylpiperidin-4-one 332023-12-8P, 1-(2-Chloro-6-nitrophenyl)piperazine 400797-66-2P, 1-[3-(4-Chlorophenyl)-1-oxiranylmethyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-69-5P, 1-[3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-70-8P, 1-[1-(Oxiranylmethyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-71-9P, 1-[3-(4-Bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400797-84-4P, 3-(4-Trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-86-6P, 1-(2-Methoxycarbonylethyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-87-7P, 1-(3-Hydroxypropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400797-90-2P, 5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400797-91-3P, 3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-1-ol 400798-00-7P, 5-Methanesulfonyl-1-oxiranylmethyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400801-20-9P, 1-(3-Oxopropyl)-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-02-0P, 3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propionaldehyde 400802-88-2P, 1-[3-(4-Chlorophenyl)-1-(3-chloropropyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-89-3P, 1-[3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-90-6P, N-Acetyl-4-piperidone piperidine enamine 400802-91-7P, 1-[3-(4-Iodophenyl)-1-oxiranylmethyl]-1,4,6,7-

tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-92-8P,  
 3-(3,4-Dichlorophenyl)-1-oxiranylmethyl-1H-pyrazole 400802-93-9P,  
 1-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-one 400802-94-0P,  
 3-(4-Iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-95-1P, 3-(4-Iodophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-96-2P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400802-97-3P, 1-[3-(4-Chloro-3-nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-98-4P, 1-[3-(4-Chloro-3-nitrophenyl)-1-(oxiranylmethyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-00-1P, (S)-1-[3-(4-Bromophenyl)-1-oxiranylmethyl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-01-2P, 3-(4-Chloro-3-methylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-02-3P, 3-(4-Chloro-3-methylphenyl)-1-(oxiranylmethyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-04-5P, 2-[4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400803-05-6P, 4-(2-Chloro-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-06-7P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid (tert-butoxycarbonyl)amide 400803-11-4P, 4-(2-Amino-6-chlorophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-12-5P, 4-(2-Chloro-6-methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-13-6P, 4-(2,6-Dinitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-14-7P, 4-(2-Methoxycarbonyl-6-nitrophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-15-8P, 4-(2-Methoxycarbonyl-6-aminophenyl)piperazine-1-carboxylic acid tert-butyl ester 400803-16-9P, 4-Benzo[d]isoxazol-3-ylpiperazine-1-carboxylic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; prepn. of piperazinypropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

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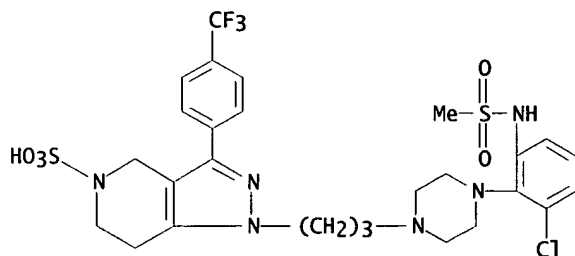
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 RN 404028-96-2 REGISTRY  
 CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)  
 MF C27 H32 Cl F3 N6 O5 S2 . x C2 H F3 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

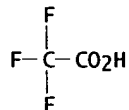
CM 1

CRN 404028-95-1  
 CMF C27 H32 Cl F3 N6 O5 S2



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:304277

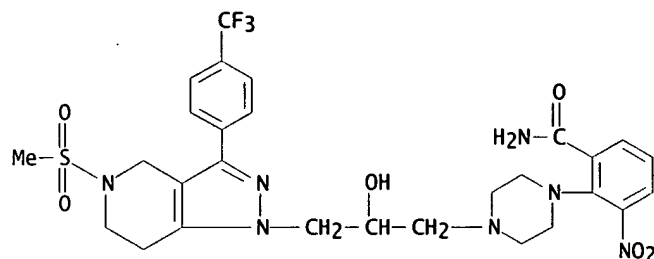
REFERENCE 2: 136:247576

L2 ANSWER 10 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 400805-04-1 REGISTRY  
 CN Benzamide, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-3-nitro- (9CI) (CA INDEX NAME)

*too many structures  
 to print out. Printed  
 some example  
 structures since  
 all references  
 were that of  
 the inventor.*

## OTHER NAMES:

CN 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-nitrobenzamide  
 FS 3D CONCORD  
 MF C28 H32 F3 N7 O6 S  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

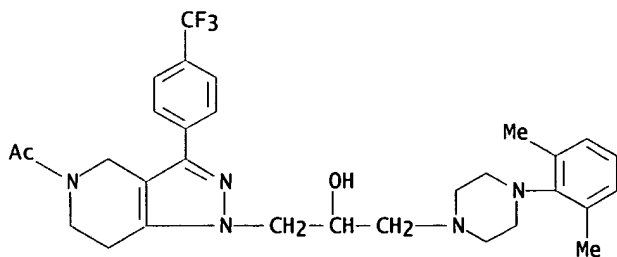
REFERENCE 1: 136:200181

L2 ANSWER 20 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 400804-94-6 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 1-[1-[3-[4-(2,6-Dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone  
 FS 3D CONCORD  
 MF C30 H36 F3 N5 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 30 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 400804-84-4 REGISTRY

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

## OTHER NAMES:

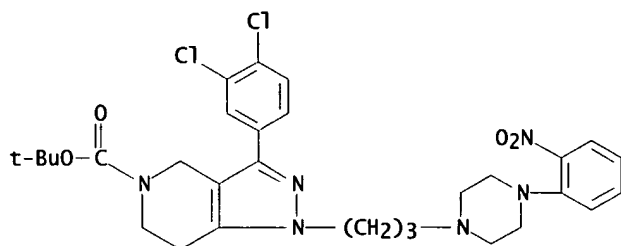
CN 3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester

FS 3D CONCORD

MF C30 H36 Cl2 N6 O4

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 40 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-74-2 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

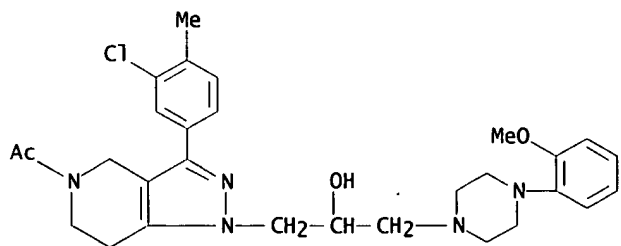
CN 1-[3-(3-Chloro-4-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C29 H36 Cl N5 O3

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

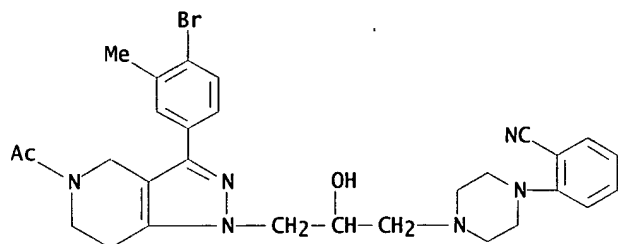
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 50 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 400804-64-0 REGISTRY  
 CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromo-3-methylphenyl)-  
 .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-  
 (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[4-[3-[5-Acetyl-3-(4-bromo-3-methylphenyl)-4,5,6,7-  
 tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-  
 yl]benzonitrile  
 FS 3D CONCORD  
 MF C29 H33 Br N6 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

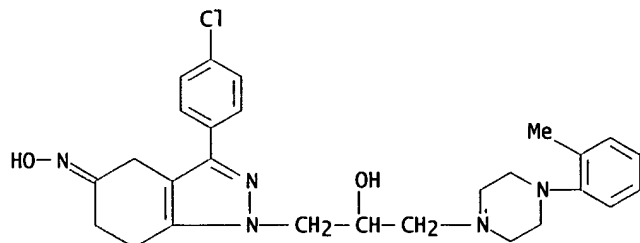
1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 60 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 400804-52-6 REGISTRY  
 CN 5H-Indazol-5-one, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-  
 (2-methylphenyl)-1-piperazinyl]propyl]-, oxime (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-  
 tetrahydroindazol-5-one oxime  
 FS 3D CONCORD  
 MF C27 H32 Cl N5 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 70 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-42-4 REGISTRY

CN Acetamide, N-[5-[5-acetyl-4,5,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

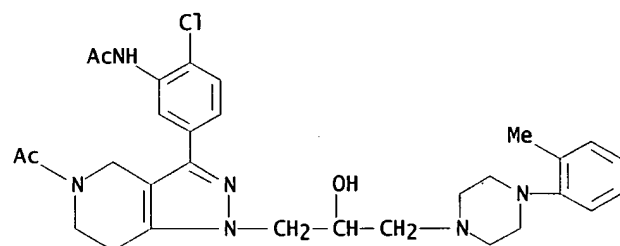
CN N-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide

FS 3D CONCORD

MF C30 H37 Cl N6 O3

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 80 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-32-2 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

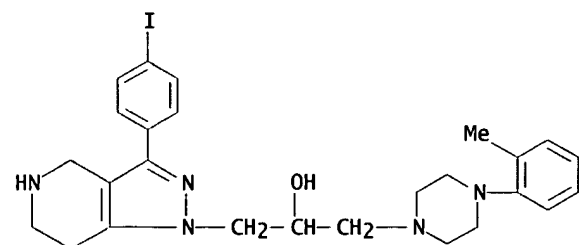
CN 1-[3-(4-Iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol

FS 3D CONCORD

MF C26 H32 I N5 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)



REFERENCE 1: 136:200181

L2 ANSWER 90 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-22-0 REGISTRY

CN 5H-Pyrazolo[4,3-c]pyridine-5-carbothioamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

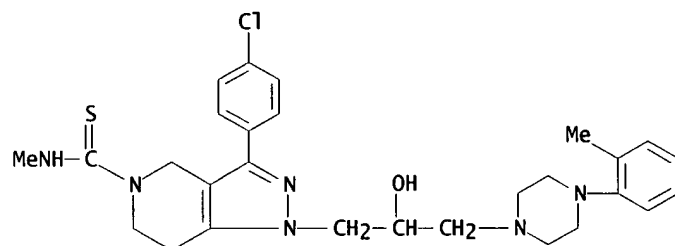
CN 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carbothioic acid methylamide

FS 3D CONCORD

MF C28 H35 Cl N6 O S

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 100 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-12-8 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha. -[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(phenylacetyl)- (9CI) (CA INDEX NAME)

OTHER NAMES:

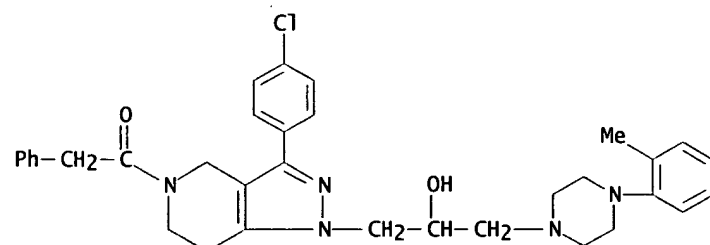
CN 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2-phenylethanone

FS 3D CONCORD

MF C34 H38 Cl N5 O2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 110 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400804-02-6 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-N-methyl-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

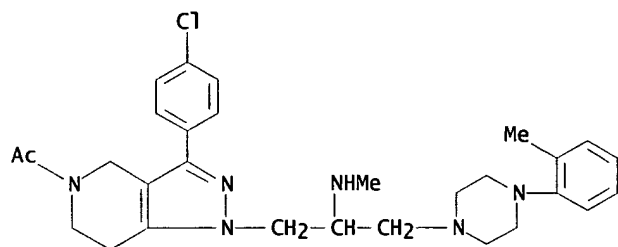
CN 1-[3-(4-Chlorophenyl)-1-[2-methylamino-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C29 H37 Cl N6 O

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 140 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400803-72-7 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(2,4-dichlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

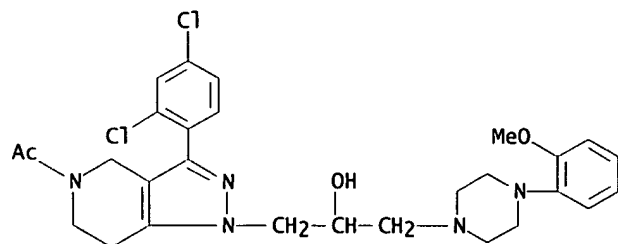
CN 1-[3-(2,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C28 H33 Cl2 N5 O3

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 160 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400803-46-5 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

OTHER NAMES:

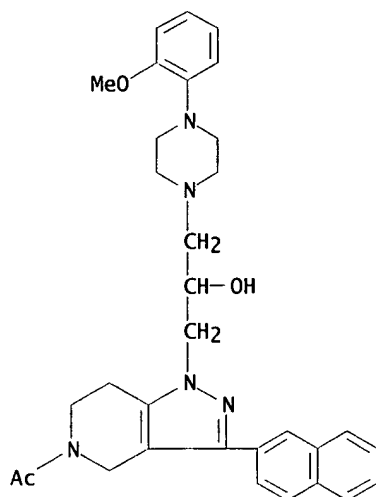
CN 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-naphthalen-2-yl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C32 H37 N5 O3

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 180 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400803-23-8 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.-[[4-(2-fluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

OTHER NAMES:

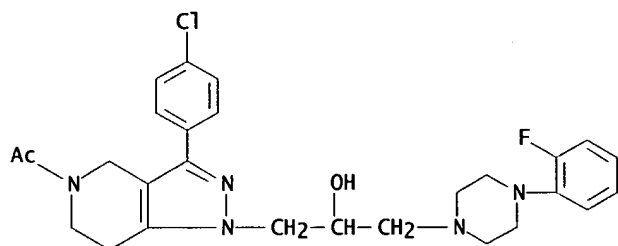
CN 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C27 H31 Cl F N5 O2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200181

L2 ANSWER 200 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 400802-84-8 REGISTRY  
CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

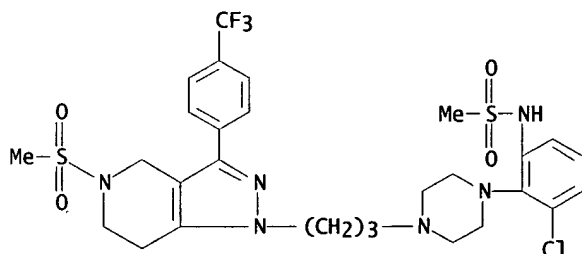
CN N-[3-Chloro-2-[4-[3-[5-methanesulfonyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]methanesulfonamide

FS 3D CONCORD

MF C28 H34 C1 F3 N6 O4 S2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:304307

REFERENCE 2: 138:304277

REFERENCE 3: 136:247576

REFERENCE 4: 136:200181

L2 ANSWER 220 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 400802-63-3 REGISTRY  
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-  
1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-  
(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine

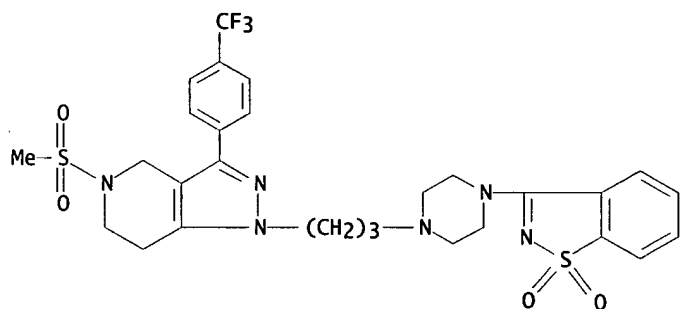
CN 1-[3-[4-[1,1-Dioxo-1.1lambda.6-benzo[d]isothiazol-3-yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine

FS 3D CONCORD

MF C28 H31 F3 N6 O4 S2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:304307

REFERENCE 2: 138:304277

REFERENCE 3: 136:247576

REFERENCE 4: 136:200181

L2 ANSWER 240 OF 242 REGISTRY COPYRIGHT 2003 ACS on STN

RN 400802-43-9 REGISTRY

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

## OTHER NAMES:

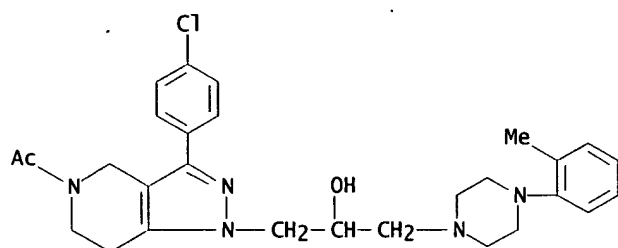
CN 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone

FS 3D CONCORD

MF C28 H34 Cl N5 O2

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:304307

REFERENCE 2: 138:304277

REFERENCE 3: 136:247576

REFERENCE 4: 136:200181

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Terms	Documents
L8 and (asthma or allerg\$).clm.	105

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US Pre-Grant Publication Full-Text Database  
JPO Abstracts Database  
EPO Abstracts Database  
Derwent World Patents Index  
IBM Technical Disclosure Bulletins

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L10

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side by side

**Hit Count Set Name**  
result set

*DB=USPT,PGPB; PLUR=YES; OP=AND*

L10 L8 and (asthma or allerg\$).clm.

105 L10

L9 L8 and (asthma or allerg\$)

432 L9

L8 (((514/252.12 |514/252 |514/13 |514/241 )!.CCLS.))

2066 L8

*DB=USPT; PLUR=YES; OP=AND*

L7 L5 and (asthma or allerg\$)

341 L7

L6 L5 and asthma or allerg\$

27657 L6

L5 ((514/252.12 |514/252 |514/13 |514/241 )!.CCLS. )

1751 L5

*DB=JPAB,EPAB,DWPI; PLUR=YES; OP=AND*

L4 52014765

3 L4

L3 L2

70 L3

*DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=AND*

L2 L1 and asthma

1009 L2

L1 benzodiazepine

9717 L1

END OF SEARCH HISTORY